STN-Structure Search
2.23.05

10/820,487

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Inventor

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:902193 CAPLUS
DOCUMENT NUMBER: 141:379910
TITLE: Preparation of heterocyclic-substituted 1,4-dihydro-4-oxo-1,8-naphthyridine analogs
INVENTOR(S): Whitten, Jeffrey P.; Schwaebe, Michael K.; Moran, Terrance
PATENT ASSIGNEE(S): Cylene Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004091627	A2	20041028	WO 2004-US10969		20040407
WO 2004091627	A3	20041125			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005004160	A1	20050106	US 2004-820487		20040407
PRIORITY APPLN. INFO.:			US 2003-461205P	P	20030407
			US 2003-519569P	P	20031112

OTHER SOURCE(S): MARPAT 141:379910
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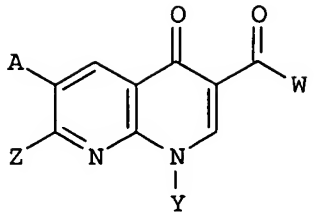

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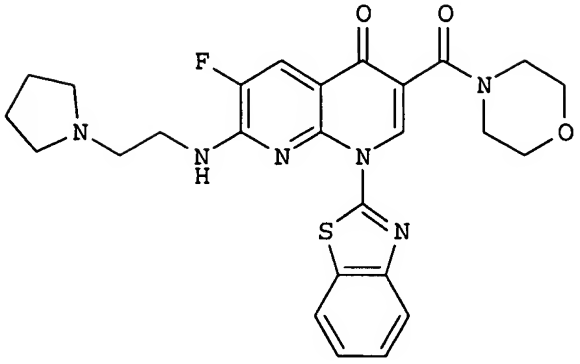


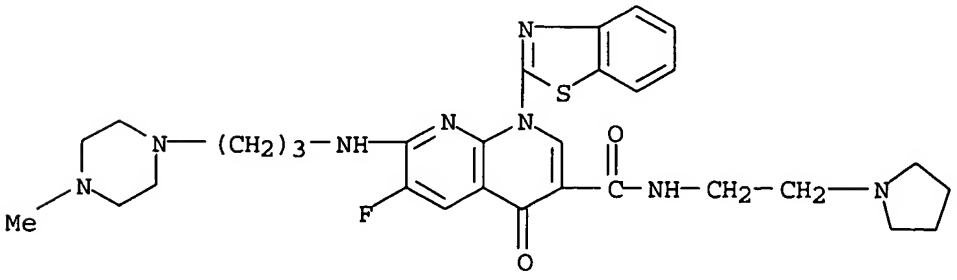
II

AB Title compds. I [W, Z = alkoxy, amino; A = H, halo, amino; Y = heterocycle, e.g., benzothiazole, imidazole, etc.] are prepared A representative procedure is provided for the preparation of 13 example compds., e.g., II. I are useful as antiproliferative agents.

IT **781665-51-8P 781665-56-3P 781665-59-6P 781665-63-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic-substituted 1,4-dihydro-4-oxo-1,8-naphthyridine analogs)

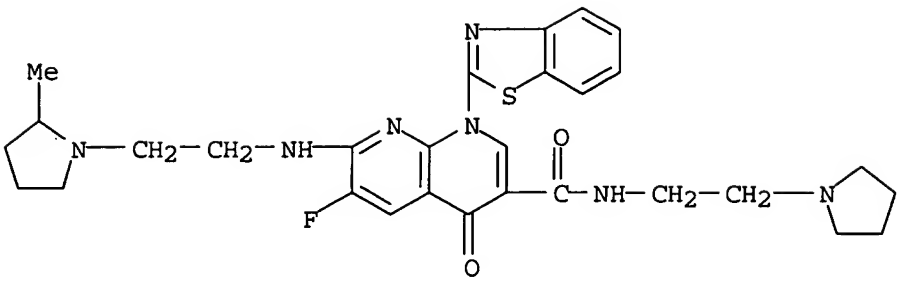
RN 781665-51-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, 1-(2-benzothiazolyl)-6-fluoro-1,4-dihydro-7-[[3-(4-methyl-1-piperazinyl)propyl]amino]-4-oxo-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

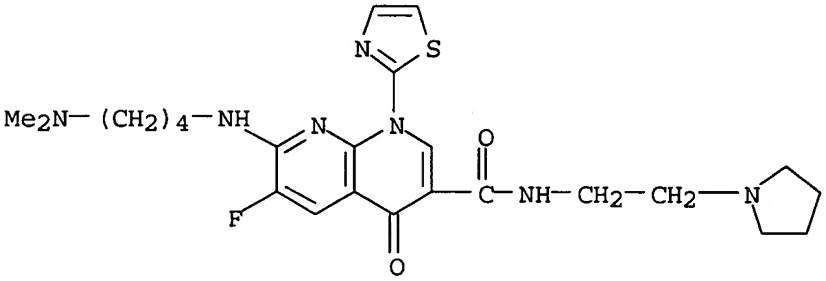


RN 781665-56-3 CAPLUS

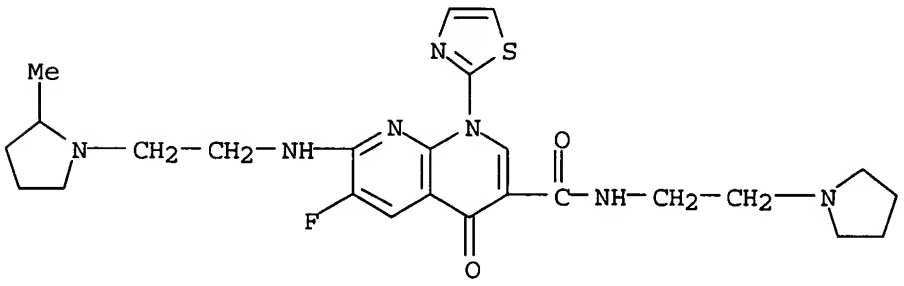
CN 1,8-Naphthyridine-3-carboxamide, 1-(2-benzothiazolyl)-6-fluoro-1,4-dihydro-7-[[2-(2-methyl-1-pyrrolidinyl)ethyl]amino]-4-oxo-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 781665-59-6 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 7-[[4-(dimethylamino)butyl]amino]-6-fluoro-1,4-dihydro-4-oxo-N-[2-(1-pyrrolidinyl)ethyl]-1-(2-thiazolyl)- (9CI) (CA INDEX NAME)



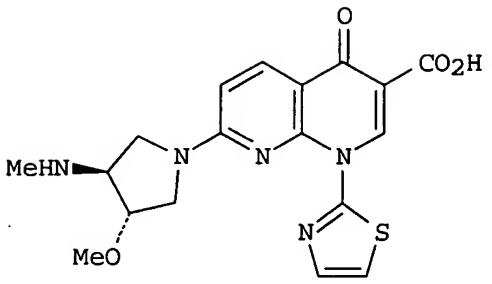
RN 781665-63-2 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, 6-fluoro-1,4-dihydro-7-[[2-(2-methyl-1-pyrrolidinyl)ethyl]amino]-4-oxo-N-[2-(1-pyrrolidinyl)ethyl]-1-(2-thiazolyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:183400 CAPLUS
DOCUMENT NUMBER: 140:391185
TITLE: Synthesis and Structure-Activity Relationships of Novel 7-Substituted 1,4-Dihydro-4-oxo-1-(2-thiazolyl)-1,8-naphthyridine-3-carboxylic Acids as Antitumor Agents. Part 2
AUTHOR(S): Tsuzuki, Yasunori; Tomita, Kyoji; Shibamori, Kohichiro; Sato, Yuji; Kashimoto, Shigeki; Chiba, Katsumi
CORPORATE SOURCE: Chemistry Research Laboratories, Dainippon

Pharmaceutical Co., Suita, Osaka, 564-0053, Japan
SOURCE: Journal of Medicinal Chemistry (2004), 47(8), 2097-2109
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



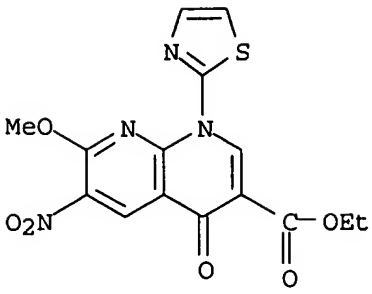
I

AB 7-Substituted thiazolyloxodihydronaphthyridinecarboxylic acids and their hydrochloride salts such as I are prepared as antitumor agents and tested against murine leukemia cells in vitro and in vivo and in a variety of human cancer cell lines. The antitumor structure-activity relations of thiazolyloxodihydronaphthyridinecarboxylic acid hydrochlorides such as the hydrochloride of I are evaluated. Thiazolyloxodihydronaphthyridinecarboxy lic acids with a 7-fluoro substituent are less active than those lacking it; thiazolyloxodihydronaphthyridinecarboxylic acid hydrochlorides containing 7-aminopyrrolidine substituents are more active as antitumor agents than compds. containing other substituents. The presence of an amino group at the 5-position of thiazolyloxodihydronaphthyridinecarboxylic acids does not increase their antitumor activity, while the presence of a chloro or a trifluoromethyl substituent decreases their activity significantly. Comparison of solubilities and antitumor activities of thiazolyloxodihydronaphthyridinecarboxylic acid hydrochlorides containing 7-aminopyrrolidine substituents resulted in the testing and clin. evaluation of free base compound I as a potential antitumor agent; free base I has activity against a variety of cancer cell lines comparable or better than that of etoposide, doxorubicin, and cisplatin. While etoposide inhibits DNA cleavage by topoisomerase II but does not inhibit DNA relaxation, I inhibits DNA relaxation mediated by topoisomerase II but does not inhibit DNA cleavage, indicating a difference in the mechanism of antitumor activity between I and etoposide.

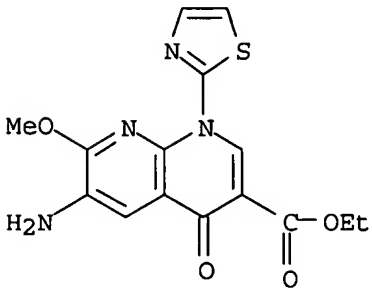
IT **685879-41-8P 685879-45-2P 685879-47-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antitumor activity of 7-substituted (thiazolyl)oxodihydro 1,8-naphthyridinecarboxylic acid hydrochlorides)

RN 685879-41-8 CAPLUS

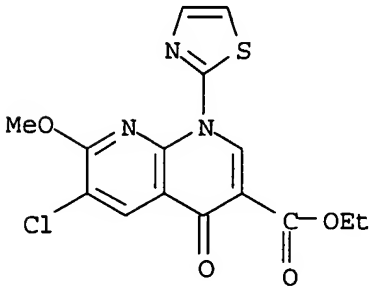
CN 1,8-Naphthyridine-3-carboxylic acid, 1,4-dihydro-7-methoxy-6-nitro-4-oxo-1-(2-thiazolyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 685879-45-2 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 6-amino-1,4-dihydro-7-methoxy-4-oxo-1-(2-thiazolyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 685879-47-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 6-chloro-1,4-dihydro-7-methoxy-4-oxo-1-(2-thiazolyl)-, ethyl ester (9CI) (CA INDEX NAME)

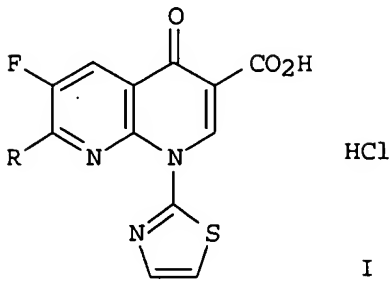


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:835034 CAPLUS
DOCUMENT NUMBER: 137:370056
TITLE: Synthesis and Structure-Activity Relationships of Novel 7-Substituted 1,4-Dihydro-4-oxo-1-(2-thiazolyl)-1,8-naphthyridine-3-carboxylic Acids as Antitumor Agents. Part 1
AUTHOR(S): Tomita, Kyoji; Tsuzuki, Yasunori; Shibamori, Koh-ichiro; Tashima, Masanori; Kajikawa, Fumie; Sato, Yuji; Kashimoto, Shigeki; Chiba, Katsumi; Hino, Katsuhiko
CORPORATE SOURCE: Chemistry Research Laboratories, Dainippon

Pharmaceutical Co. Ltd., Osaka, 564-0053, Japan
SOURCE: Journal of Medicinal Chemistry (2002), 45(25), 5564-5575
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:370056

GI



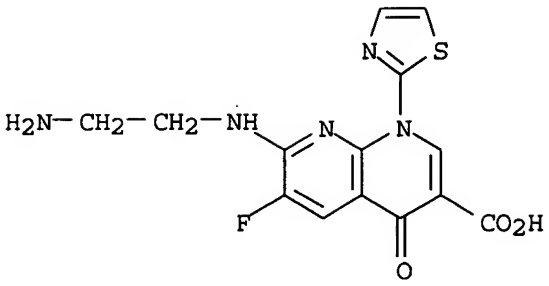
I

AB Title compds., e.g. I (R = H2NCH2CH2NH, 1-pyrrolidinyl, 3-hydroxy-1-pyrrolidinyl), possess moderate cytotoxic activity. Structure-activity relationships of title compds. were investigated by changing substituents at N-1 and C-7 positions and the core ring structure itself and evaluated the synthesized compds. against several murine and human tumor cell lines. The 2-thiazolyl group at the N-1 position of the naphthyridine structure is the best substituent for antitumor activity and regarding core ring structure, the naphthyridine derivative is the most active followed by pyridopyrimidine analog. At the C-7 position, aminopyrrolidine derivs. are more effective than other amines or thioether derivs. I (R = 3-amino-4-methoxy-1-pyrrolidinyl, 3-amino-3-methyl-1-pyrrolidinyl, 3-aminopyrrolidinyl) were determined to be effective in vitro and in vivo antitumor assays, and their activity was comparable to that of etoposide.

IT **475468-77-0P 475469-09-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-antitumor relationships of naphthyridinecarboxylates)

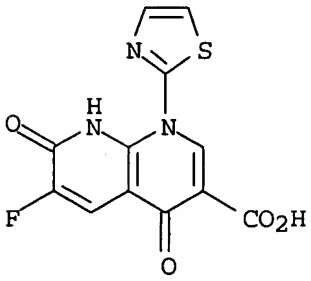
RN 475468-77-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(2-aminoethyl)amino]-6-fluoro-1,4-dihydro-4-oxo-1-(2-thiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 475469-09-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 6-fluoro-1,4,7,8-tetrahydro-4,7-dioxo-1-(2-thiazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:594555 CAPLUS
DOCUMENT NUMBER: 127:288165
TITLE: Antitumor compounds
INVENTOR(S): Tomita, Kyoji; Chiba, Katsumi; Kashimoto, Shigeki; Nakada, Katsuhisa; Shibamori, Koichiro; Chikugi, Yasutomo; Tajima, Masanori; Oue, Tomio
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 74 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 09221424	A2	19970826	JP 1996-351948		19961210
PRIORITY APPLN. INFO.:			JP 1995-347310	A	19951213

OTHER SOURCE(S): MARPAT 127:288165
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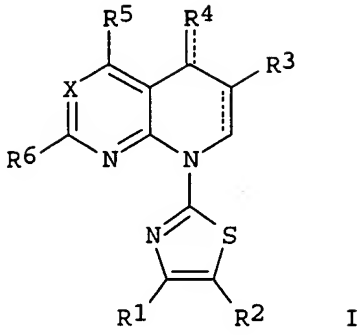


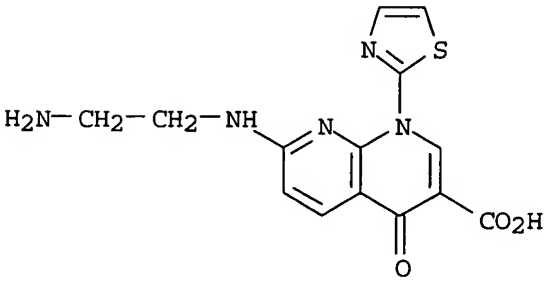
I

AB The title compds. (I; X = N or C-Rx, with Rx =H, halogen; R1, R2 = H, halogen; R3 = H, carboxyl; R4 = oxo, OH; R5 = H, amino; R6 = substituted cyclic amino groups) and their physiol. acceptable salts are claimed as antitumor drugs. Thus, I were prepared, and their antitumor activities were tested in animal models.

IT **196822-00-1P 196822-05-6P 196822-06-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor compds.)

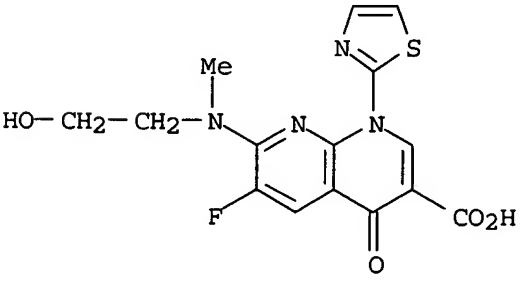
RN 196822-00-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(2-aminoethyl)amino]-1,4-dihydro-4-oxo-1-(2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 196822-05-6 CAPLUS

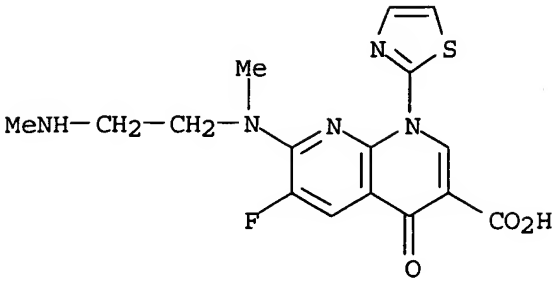
CN 1,8-Naphthyridine-3-carboxylic acid, 6-fluoro-1,4-dihydro-7-[(2-hydroxyethyl)methylamino]-4-oxo-1-(2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 196822-06-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 6-fluoro-1,4-dihydro-7-[methyl[2-(methylamino)ethyl]amino]-4-oxo-1-(2-thiazolyl)-, monohydrochloride (9CI)

(CA INDEX NAME)



● HCl

=> d his

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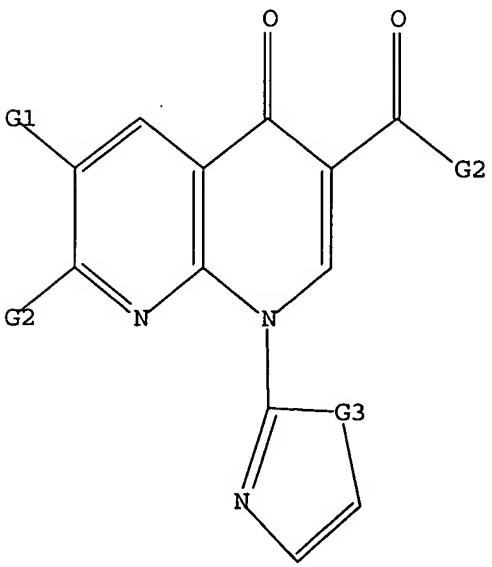
FILE 'REGISTRY' ENTERED AT 13:53:49 ON 23 FEB 2005
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 14 S L1 FULL

FILE 'CAPLUS' ENTERED AT 13:54:28 ON 23 FEB 2005
L4 4 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,N,X

G2 O,N

G3 O,S,NH

Structure attributes must be viewed using STN Express query preparation.

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